TITLE: Preparation of N-glycyl-2-cyanopyrrolidines as DPP IV

inhibitors

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 136:37503

AB The present invention relates to the preparation of N-(substituted glycyl)-2cyanopyrrolidines. Thus, 1-chloroacetyl-2-(s)-cyanopyrrolidine (synthetic
preparation given) is reacted with 2-[(5-chloro-2-pyridinyl)amino]-1,1dimethylethylamine in the presence of K2CO3 to give 1-[[[2-((5-chloro-2pyridinyl)amino]-1,1- dimethylethyl]amino]acetyl]-2-cyano-(5)-pyrrolidine.

The prepared compds. inhibit DPP-IV (dipeptidyl-peptidase-IV) activity. They
are therefore indicated for use as pharmaceuticals in inhibiting DPP-IV and in
the treatment of conditions mediated by DPP-IV, such as non-insulin-dependent
dlabetes mellitus, arthritis, obesity, osteoporosis and further conditions of
impaired glucose tolerance. Data for biol. activity of some of the prepared
compds. were given.

IT 380831-65-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-glycyl-2-cyanopyrrolidines as DPP IV inhibitors) $\tt RN = 380831-65-2 \quad CAPLUS$

CN 2-Pyrrolidinecarbonitrile, 1-[2-[[4-([4-(trifluoromethyl)-2-pyrimidinyl]amino]cyclohexyl]amino]acetyl]-, hydrochloride (1:2), (2S)-

(CA INDEX NAME)

Absolute stereochemistry.

●2 HC1